

What is claimed is:

1. An iodinated neuroprobe for mapping monoamine reuptake sites, the iodinated neuroprobe being of the formula:

4 wherein

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- R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, a monofluoroalkyl group including nF where n=18 or 19, or a $^mC_nH_{2n+1}$ group where
- 7 n=1-6 and where $m\neq 11$ or 14 for at least one mC;
- 8 R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a
- 9 p-iodophenylethy group, a phenylmethyl group, or a
- 10 phenylethyl group;
- 11 X = an isotope of F, an isotope of Br, an isotope of Br, an
- isotope of I, CH_2 or $Sn(R''_1R''_2R''_3)$;
- 13 $R''_{1} = a C_{n}H_{2n+1}$ group where n=1-6, or an aryl group;
- R''_2 a C_nH_{2n+1} group where n=1-6, or an aryl group;
- $R''_3 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group; and
- Y = H only if X is an isotope of I, or R' is a p-iodophenylmethyl
- group, or R' is a p-iodophenylethyl group, else Y = an isotope
- .8 of I.
- 1 2. The iodinated neuroprobe of claim 1 wherein the
- 2 p-iodophenylmethyl group incorporates a radioactive isotope of
- 3 iodine.

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CLAIMI

- 3. The iodinated newprope of claim 1 wherein the p-iodophenylethyl group incorporates a radioactive isotope of iodine.
- 1 \mathcal{A} . The iodinated neuroprobe of claim 1 wherein $X = {}^{123}I$.
- 1 33. The iodinated neuroprobe of claim 1 wherein $X = {}^{125}I$.
- 1 $\frac{1}{2}$ The iodinated neuroprobe of claim 1 wherein $X = {}^{131}I$.
- 7. An iodinated neuroprobe for mapping monoamine reuptake sites,
 the iodinated neuroprobe being of the formula:

$$R$$
 CO_2R'
 X

- wherein
- R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, a monofluoroalkyl group including "F where n=18 or 19, or a " C_nH_{2n+1} group where n=1-6 and where n=14 or 14 for at least one "C;
 - R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a p-iodophenylethyl group, a phenylmethyl group, or a phenylethyl group;
 - X = an isotope of F, an isotope of Cl, an isotope of Br, an
 isotope of I, CH, or Sn(R"1R"2R"3);
- R"₁= a C_nH_{2n+1} group where n=1-6, or an aryl group;
- 14 R"₂= a C_nH_{2n+1} group where n=1-6, or an aryl group;

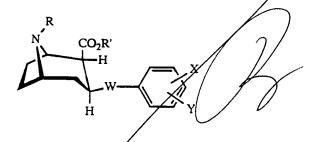
 R''_3 = a C_nH_{2n+1} group where n=1/6, or an aryl group; and

Y = H only if X is an isotope of I, or R' is a p-iodophenylmethyl group, or R' is a p-iodophenylethyl group, else Y = an isotope of I.

- 8. The iodinated neuroprobe of claim 7 wherein the p-iodophenylmethyl group incorporates a radioactive isotope of iodine.
- 9. The iodinated neuroprobe of claim 7 wherein the p-iodophenylethyl group incorporates a radioactive isotope of iodine.
- 1 by 6. The iodinated neuroprobe of claim wherein $X = {}^{123}I$.
- 1 11. The iodinated neuroprobe of claim $\frac{5}{4}$ wherein $X = \frac{125}{1}$.
- 1 12. The iodinated neuroprobe of claim 7 wherein $X = {}^{131}I$.
- 1 13. An iodinated neuroprobe for mapping monoamine reuptake sites,
- the iodinated neuroprobe being of the formula:

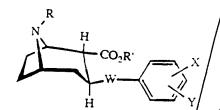
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4 wherein



- 5 R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, a monofluoroalkyl
- group including ^{n}F where n=18 or 19, ϕr a $^{m}C_{n}H_{2n+1}$ group where
- n=1-6 and where m=11 or 14 for at least one mC;
- 8 R'= a C_nH_{2n+1} group where n=0-6, a p-iqdophenylmethyl group, a
- 9 p-iodophenylethyl group, a phenylmethyl group, or a
- phenylethyl group;
- 11 X = an isotope of F, an isotope of Cl, an isotope of Br, an
- isotope of I, CH_3 , or $Sn(R''_1R''_2R''_3)$;
- 13 $R_{l}^{"} = a C_{n}H_{2n+1}$ group where n=1-6, or $\neq n$ aryl group;
- 14 R''_2 a C_nH_{2n+1} group where n=1-6, or/an aryl group;
- 15 R''_3 a C_nH_{2n+1} group where n=1-6, or an aryl group;
- 16 Y = H only if X is an isotope \sqrt{f}/I , \sqrt{g} R' is a p-iodophenylmethyl
- group, or R' is a p-iodophen/yl/ethyl group, else Y = an isotope
- of I; and
- 19 W = 0, S, $(CH_2)_n$, $O(CH_2)_n$ where n=1-6,
- wherein X resides on a benzene ring of the formula at an
- ortho, meta, or para position with respect to W, and Y resides at
- 22 any remaining position on the benzene ring.
 - 1 14. The iodinated neuroprobe of claim 13 wherein the
- p-iodophenylmethyl group/incorporates a radioactive isotope of
- 3 iodine.
- 1 15. The iodinated/ neuroprobe of claim 13 wherein the
- 2 p-iodophenylethyl group incorporates a radioactive isotope of
- 3 iodine.

- 1 16. The iodinated neuroprobe of claim 13 wherein X = 123 I.
- 17. The iodinated neuroprobe of claim 13 wherein $X = {}^{125}I$.
 - 1 18. The iodinated neuroprobe of claim 13 wherein $X = {}^{131}I$.
 - 1 19. An iodinated neuroprobe for mapping monoamine reuptake sites,
- the iodinated neuroprobe being of the formula:

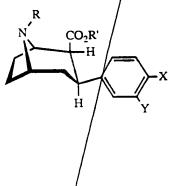


- 5 R = a C_nH_{2n+1} group where n=0-6, an already length group, a monofluoroalky l
- group including "F where n=1/8 or 19, or a ${}^{m}C_{n}H_{2n+1}$ group where
- 7 n=1-6 and where m=11 or 14/x or at least one ^mC;
- 8 R'= a C_nH_{2n+1} group where p=0-6, a p-iodophenylmethyl group, a
- 9 p-iodophenylethyl gr ϕ up, a phenylmethyl group, or a
- phenylethyl group;
- 11 X = an isotope of F, an isotope of Cl, an isotope of Br, an
- isotope of I, CH_3 , or $Sn(R"_1R"_2R"_3)$;
- 13 $R''_1 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 14 $R''_2 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 15 $R''_3 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 16 Y = H only if X is a n isotope of I, or R' is a n-iodophenylmethyl
- group, or R' is/a p-iodophenylethyl group, else Y = an isotope
- of I; and

- 19 W = O, S, $(CH_2)_n$, $O(CH_2)_n$ where n=1-6,
- wherein X resides on a benzene ring of the formula at an
- ortho, meta, or para position with respect to W, and Y resides at
- any remaining position on the benzene ring.
 - 1 20. The iodinated neuroprobe of claim 19 wherein the
 - 2 p-iodophenylmethyl group incorporates a radioactive isotope of
 - 3 iodine.
 - 1 21. The iodinated neuroprobe of claim 19 wherein the
- 2 p-iodophenylethyl group incorporates a radioactive isotope of
- 3 iodine.
- 1 22. The iodinated neuroprobe of glaim 19 wherein $X = {}^{123}I$.
- 1 23. The iodinated neuroprobe of claim 19 wherein $X = {}^{125}I$.
- 1 24. The iodinated neuroprobe of claim 19 wherein $X = {}^{131}I$.
- 1 25. A precursor of a radiolabled neuroprobe for mapping monoamine
- 2 reuptake sites, the precursor being of the formula:

3

4 wherein



5 R = a C_nH_{2n+1} group where n=0-6, an /alkenyl group, or a

6 monofluoroalkyl group;

7 R'= a C_nH_{2n+1} group where n=0-6, a p- $\frac{1}{2}$ odophenylmethyl group, a

8 p-iodophenylethyl group, a phenylmethyl group, or a

9 phenylethyl group;

10 X = F, Cl, Br, I, CH₃, or $Sn(R''_1R''_2R''_3)$;

11 $R''_1 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;

12 $R''_2 = a C_n H_{2n+1}$ group where n=1-6, or 4n aryl group;

13 R''_3 a C_nH_{2n+1} group where n=1-6, or an aryl group; and

14 Y = H only if X is I, or R' is a p-iodophenylmethyl group, or R'

is a p-iodophenylethyl group, else Y = I.

1 26. A precursor of a radiolabled neuroprobe for mapping monoamine

2 reuptake sites, the precursor being of the formula:

H CO₂R'

4 wherein

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5 R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, or a

6 monofluoroalkyl group;

7 R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a

8 p-iodophenylethyl gpoup, a phenylmethyl group, or a

9 phenylethyl group;

10 X = F, Cl, Br, I, CH₃, ϕ r Sn(R"₁R"₂R"₃);

11 $R''_1 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;

12 R''_2 a C_nH_{2n+1} group where n=1-6, or an aryl group;

13 $R''_3 = a C_n H_{2n+1}$ group where n=1-6, or an ary group; and

14 Y = H only if X is I, or R' is a p-iodophenylmethyl group, or R'

is a p-iodophenylethyl group, else/Y = I.

1 27. A precursor of a radiolabled neuroprobe for mapping monoamine

2 reuptake sites, the precursor being of the formula:

$$V$$
 CO_2R'
 V
 V
 V
 V

4 wherein

3

5 R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, or a

6 monofluoroalkyl group;

7 R'= a C_nH_{2n+1} group where n=0-6, $\int a / e^{-iodophenylmethyl}$ group, a

8 p-iodophenylethyl group, $\frac{1}{4}$ phenylmethyl group, or a

9 phenylethyl group;

10 X = F, Cl, Br, I, CH₃, or $Sn(R''_1R''_2R''_3)$;

11 $R''_1 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;

12 $R''_2 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;

13 $R''_3 = a C_n H_{2n+1}$ group where n=1-6/ or an aryl group;

14 Y = H only if X is I, or R' $\frac{1}{4}$ s a p-iodophenylmethyl group, or R'

is a p-iodophenylethyl qroup, else Y = I; and

16 W = 0, S, $(CH_2)_n$, $O(CH_2)_n$ where n=1-6,

wherein X resides on /a benzene ring of the formula at an

ortho, meta, or para position with respect to W, and Y resides at

any remaining position on the benzene ring.

- 1 28. A precursor of a radiolabled neuroprobe for mapping monoamine
- 2 reuptake sites, the precursor being of the formula:

$$R$$
 CO_2R'
 X
 Y

- 5 R = a C_nH_{2n+1} group where $n=0\neq 6$, an alkenyl group, or a
- 6 monofluoroalkyl group;
- 7 R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a
- 8 p-iodophenylethyl group, $\int a \int phenylmethyl$ group, or a
- 9 phenylethyl group;
- 10 X = F, Cl, Br, I, CH₃, or $S_n(R_1^{\mu}R_2^{\mu}R_3^{\mu})$;
- 11 $R''_{1} = a C_{n}H_{2n+1}$ group where n=1-6, or an aryl group;
- 12 $R''_2 = a C_n H_{2n+1}$ group where n=1/6, or an aryl group;
- 13 $R_{3}^{"} = a C_{n}H_{2n+1}$ group where n=1-6, or an aryl group;
- 14 Y = H only if X is I, or R' is a p-iodophenylmethyl group, or R'
- is a p-iodophenylethy/1 group, else Y = I; and
- 16 W = O, S, $(CH_2)_n$, $O(CH_2)_n$ where n=1-6,
- wherein X resides on a benzene ring of the formula at an
- ortho, meta, or para position with respect to W, and Y resides at
- 19 any remaining position/on the benzene ring.

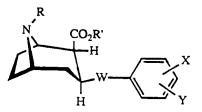
- 29. A kit for preparing an iodinated neuroprobe for mapping monoamine reuptake sites, the kit comprising:
 - a precursor of the formula:

- 6 R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, or a
- 7 monofluoroalkyl group
- 8 R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a
- p-iodophenylethyl /group, a phenylmethyl group, or a
- 10 phenylethyl group;
- 12 $R''_{1}= a C_{n}H_{2n+1}$ group where n=1-6, or an aryl group;
- 13 $R''_2 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 14 $R''_3 = a C_n H_{2n+1}$ group/where n=1-6, or an aryl group; and
- Y = H only if X As I, or R' is a p-iodophenylmethyl group, or R'
- is a p-iodophenylethyl group, else Y = I; and
- 17 an oxidizing agent,
- wherein the precursor and the oxidizing agent are to be
- reacted in the presence of a radioisotope source.
- 1 30. The kit of claim 29 wherein the radioisotope source is a
- 2, solution of a salt of a radioactive isotope of iodine.

- 1 31. The kit of claim 29 wherein the radioisotope source is a
- reagent containing ${}^{m}C_{n}H_{2n+1}X$ where n=0-6 and X is a leaving group.
- 1 32. The kit of claim 29 wherein the radioisotope source is a
- reagent containing 18 F of the formula $FC_nH_{2n}X$ where n=0-6 and X is a
- 3 leaving group.
- 1 33. A kit for preparing an iodinated neuroprobe for mapping
- 2 monoamine reuptake sites, the/kit comprising:
- a precursor of the formula:

- 6 R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, or a
- 7 monofluoroalkyl grup;
- 8 R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a
- p-iodophenylethyl/ group, a phenylmethyl group, or a
- 10 phenylethyl group;
- 11 X = F, Cl, Br, I, CH₃, or $Sn(R''_1R''_2R''_3)$;
- 12 R''_{1} = a $C_{n}H_{2n+1}$ group where n=1-6, or an aryl group;
- 13 $R''_2 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 14 R''_3 a C_nH_{2n+1} group where n=1-6, or an aryl group; and
- 15 Y = H only if $X i \not = I$, or R' is a p-iodophenylmethyl group, or R'
- is a p-iodophenylethyl group, else Y = I; and
- 17 an oxidizing agent,

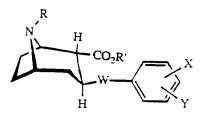
- wherein the precursor and the oxidizing agent are to be reacted in the presence of a radioisotope source.
- 1 34. The kit of claim 33 wherein the radioisotope source is a solution of a salt of a radioactive isotope of iodine.
- 1 35. The kit of clark 33 wherein the radioisotope source is a reagent containing $^m f_n H_{2n+1} X$ where n=0-6 and X is a leaving group.
- 1 36. The kit of claim 33 wherein the radioisotope source is a 2 reagent containing 8F of the formula $FC_nH_{2n}X$ where n=0-6 and X is a 3 leaving group.
 - 1 37. A kit for preparing an iodinated neuroprobe for mapping monoamine reuptake sites, the kit comprising:
 - 3 a precursor of the formula:



- 6 R = a C_nH_{2n+1} group where n=0-6, an alkenyl group, or a monofluoroalkyl group;
- 8 R'= a C_nH_{2n+1} group where n=0-6, a p-iodophenylmethyl group, a
- p-iodophenylethyl group, a phenylmethyl group, or a
- phenylethyl group;
- 11 X = F, C1, Br, I, CH₃, $9r Sn(R''_1R''_2R''_3)$;

- 12 $R''_1 = a C_n H_{2n+1}$ group where n=1-6, or an aryl/group;
- 13 $R''_2 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 14 R"₃= a C_nH_{2n+1} group where n=1-6, or an α ryl group;
- 15 Y = H only if X is I, or R' is a p-i/dophenylmethyl group, or R'
- is a p-iodophenylethyl group, ϕ lse Y = I; and
- 17 W = 0, S, $(CH_2)_n$, $O(CH_2)_n$ where n=1-6,
- wherein X resides on a benzene ring of the formula at an
- ortho, meta, or para position with respect to W, and Y resides at
- any remaining position on the benzene ring; and
- 21 an oxidizing agent,
- wherein the precursor and the oxidizing agent are to be
- reacted in the presence of a radioisotope source.
 - 1 38. The kit of claim/37 wherein the radioisotope source is a
 - 2 solution of a salt of/a radioactive isotope of iodine.
 - 1 39. The kit of claim 37 wherein the radioisotope source is a
 - reagent containing ${}^{m}C_{n}H_{2n+1}X$ where n=0-6 and X is a leaving group.
 - 1 40. The kit ϕ f claim 37 wherein the radioisotope source is a
- 2 reagent containing 18F of the formula FC_nH_{2n}X where n=0-6 and X is a
- 3 leaving group.

- 1 41. A kit for preparing an iodinated neuroprobe for mapping
- 2 monoamine reuptake sites, the kit comprising:
- a precursor of the formula:



- 6 R = a C_nH_{2n+1} group where n=0-6, /an alkenyl group, or a
- 7 monofluoroalkyl group;
- 8 R'= a C_nH_{2n+1} group where n=0-6, a/p-iodophenylmethyl group, a
- p-iodophenylethyl group, a// phenylmethyl group, or a
- phenylethyl group;
- 11 X = F, Cl, Br, I, CH₃, or $Sn(R''/R''_2R''_3)$;
- 12 $R''_1 = a C_n H_{2n+1}$ group where n=1-6, or an aryl group;
- 13 R''_{2} a C_nH_{2n+1} group where n=1-6,/or an aryl group;
- 14 $R''_3 = a C_n H_{2n+1}$ group where n=1-6/, or an aryl group;
- Y = H only if X is I, or R' is a p-iodophenylmethyl group, or R'
- is a p-iodophenylethyl group, else Y = I; and
- 17 W = 0, S, $(CH_2)_n$, $O(CH_2)_n$ where n=1-6,
- wherein X resides on a benzene ring of the formula at an
- ortho, meta, or para position with respect to W, and Y resides at
- any remaining position on the benzene ring; and
- 21 an oxidizing agent,
- wherein the precursor and the oxidizing agent are to be
- reacted in the presence of a radioisotope source.

- 1 42. The kit of claim 41 wherein the radioisotope source is a
- 2 solution of a salt of a radioactive isotope of iodine.
- 1 43. The kit of claim 41 wherein the radioisotope source is a
- reagent containing ${}^{m}C_{n}H_{2n+1}X$ where n=0-6 and X is a leaving group.
- 1 44. The kit of claim 41 wherein the radioisotope source is a
- reagent containing 18 F of the formyla/F/c_nH_{2n}X where n=0-6 and X is a
- 3 leaving group.
- 1 45. The kit of claim 29, 33, $\sqrt{7}$, or 41 wherein the oxidizing agent
- is selected from the group consisting of perchloric acid, performic
- acid, peracetic acid, hydrogen peroxide, hydrogen peroxide with
- 4 lactoperoxidase, 1,3,4,6-t/etrachloro-3 α ,6 α -diphenylglycouril, and
- 5 N-chloro-4-methylbenzenesulfonamide sodium salt.